

REMARKS

The claims are 1-26. Claims 1-3 and 7 have been amended to correct certain typographical errors on the part of the applicants. The errors, and any inconvenience caused thereby, are sincerely regretted.

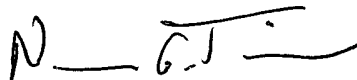
As the court noted in *Helfgott & Karas P.C. v. Dickinson*, 54 USPQ2d 1425, 1426 (Fed. Cir. 2000): "Mistakes are inevitable, much as all those involved try to minimize their possibility. Even if total elimination of mistakes is an illusory goal, their reasonable mitigation should not be."

Accordingly, the next office action -- preferably a notice of allowance -- is awaited.

To the extent necessary, applicant(s) petition for an Extension of Time under 37 CFR 1.136. Please charge any shortage in fees due in connection with the filing of this paper, including Extension of Time fees to Deposit Account No. 11-0345. Please credit any excess fees to such deposit account.

Respectfully submitted,

KEIL & WEINKAUF



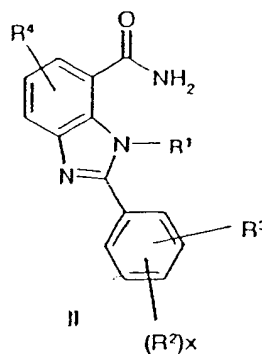
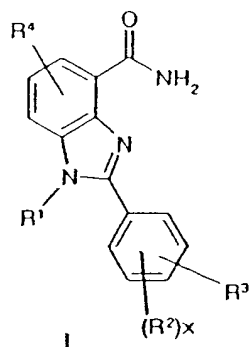
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**VERSION WITH MARKINGS TO SHOW CHANGES MADE IN THE CLAIMS**

Please amend claims 1, 2, 3, and 7 as follows:

1. (thrice amended) A compound of the formula I or II



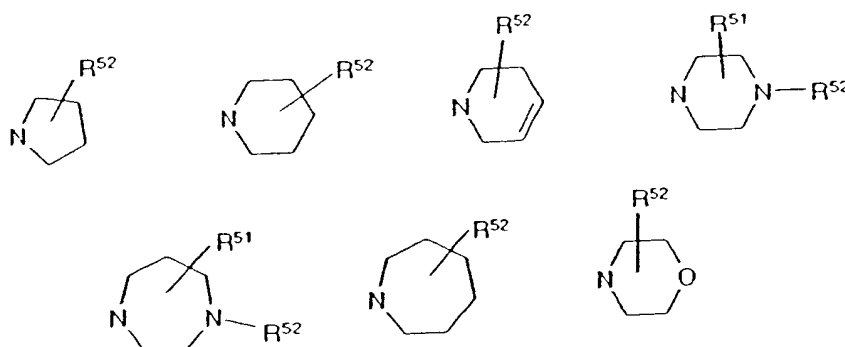
in which

- $R^1$  is hydrogen, or branched and unbranched  $C_1$ - $C_6$ -alkyl, it also being possible for one C atom of the alkyl radical to carry  $OR^{11}$  or a group  $R^5$ , where  $R^{11}$  is hydrogen or  $C_1$ - $C_4$ -alkyl, and
- $R^2$  is hydrogen, chlorine, bromine, iodine, fluorine,  $CF_3$ , nitro,  $NHCOR^{21}$ ,  $NR^{22}R^{23}$ , OH, O- $C_1$ - $C_4$ -alkyl, O- $C_1$ - $C_4$ -alkylphenyl,  $NH_2$ , [CH, a straight or branched  $C_1$  to  $C_2$ -alkyl] CN, a straight or branched  $C_1$ - $C_6$ -alkyl,  $OR^{21}$  or phenyl, it also being possible for the phenyl rings to be substituted by at most two radicals  $R^{24}$ , and  $R^{21}$  and  $R^{22}$  independently of one another are hydrogen or  $C_1$ - $C_4$ -alkyl and  $R^{23}$  is hydrogen,  $C_1$ - $C_4$ -alkyl or phenyl, and  $R^{24}$  is OH,  $C_1$ - $C_6$ -alkyl, O- $C_1$ - $C_4$ -alkyl, chlorine, bromine, iodine, fluorine,  $CF_3$ , nitro or  $NH_2$ , and
- x may be 0, 1 or 2 and
- $R^3$  is  $-D-(F^1)_p-(E)_q-(F^2)_r-G$ , where p, q and r may not simultaneously be 0, or is -

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- $E-(D)_u-(F^2)_s-(G)_v$ , it also being possible for the radical E to be substituted by one or two radicals A, and if  $v = 0$ , E is imidazole, pyrrole, pyridine, pyrimidine, piperazine, pyrazine, pyrrolidine or piperidine, or  $R^3$  is B and  $R^4$  is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched  $C_1-C_6$ -alkyl, OH, nitro,  $CF_3$ , CN,  $NR^{41}R^{42}$ ,  $NH-CO-R^{43}$ , or  $O-C_1-C_4$ -alkyl, where  $R^{41}$  and  $R^{42}$  independently of one another are hydrogen or  $C_1-C_4$ -alkyl and  $R^{43}$  is hydrogen,  $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkylphenyl or phenyl, and
- D is S or O
- E is phenyl, imidazole, pyrrole, thiophene, pyridine, pyrimidine, piperazine, pyrazine, furan, thiazole, isoxazole, pyrrolidine, piperidine, or trihydroazepine and
- $F^1$  is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or  $O-C_1-C_4$ -alkyl group and
- $F^2$  is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or  $O-C_1-C_4$ -alkyl group and
- p may be 0 or 1
- q may be 0 or 1, and
- r may be 0 or 1 and
- s may be 0 or 1
- u may be 0 or 1
- v may be 0 or 1

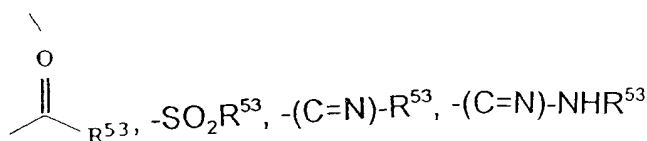
G may be  $\text{NR}^{51}\text{R}^{52}$  or



and

$\text{R}^{51}$  is hydrogen or branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , or  $(\text{CH}_2)_t\text{-K}$  and

$\text{R}^{52}$  is hydrogen, branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , phenyl, -

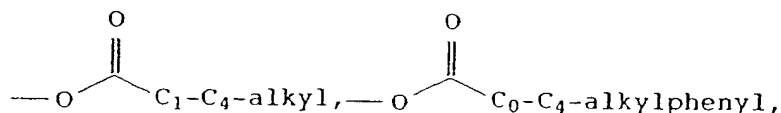


in which

$\text{R}^{53}$  may be branched or unbranched  $\text{O-C}_1\text{-C}_6\text{-alkyl}$ , phenyl, or branched or unbranched  $\text{C}_1\text{-C}_4\text{-alkylphenyl}$ , where in the case of  $\text{R}^{52}$  and  $\text{R}^{53}$ , independently of one another, one hydrogen of the  $\text{C}_1\text{-C}_6\text{-alkyl}$  radical may be substituted by one of the following radicals: OH,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ , cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, it also being possible for the carbocycles of the radicals  $\text{R}^{52}$  and  $\text{R}^{53}$  independently of one another to carry one or two of the following radicals: branched or unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , branched or unbranched  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ , OH, F, Cl, Br, I,  $\text{CF}_3$ ,  $\text{NO}_2$ ,  $\text{NH}_2$ , CN, COOH,  $\text{COOC}_1\text{-C}_4\text{-alkyl}$ ,  $\text{C}_1\text{-C}_4\text{-}$

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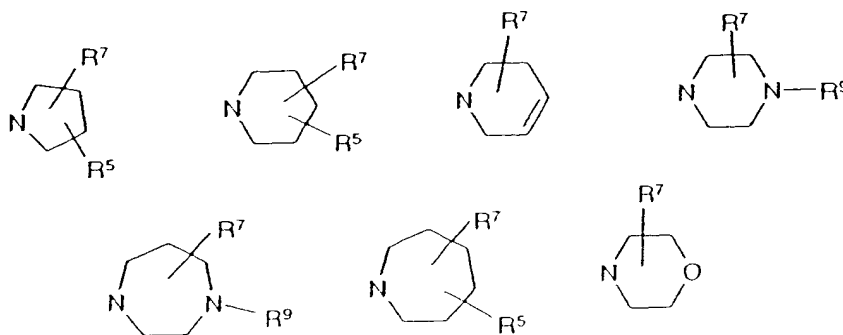
alkylamino,  $\text{CCl}_3$ ,  $\text{C}_1\text{-C}_4\text{-dialkylamino}$ ,  $\text{SO}_2\text{-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{SO}_2\text{phenyl}$ ,  $\text{CONH}_2$ ,  
 $\text{CONH-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{CONHphenyl}$ ,  $\text{CONH-C}_1\text{-C}_4\text{-alkylphenyl}$ ,  $\text{NHSO}_2\text{-C}_1\text{-C}_4\text{-}$   
 $\text{alkyl}$ ,  $\text{NHSO}_2\text{phenyl}$ ,  $\text{S-C}_1\text{-C}_4\text{-alkyl}$ ,



$\text{CHO}$ ,  $\text{CH}_2\text{-O-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{-CH}_2\text{O-C}_1\text{-C}_4\text{-alkylphenyl}$ ,  $\text{-CH}_2\text{OH}$ ,  $\text{-SO-C}_1\text{-C}_4\text{-}$   
 $\text{alkyl}$ ,  $\text{-SO-C}_1\text{-C}_4\text{-alkylphenyl}$ ,  $\text{-SO}_2\text{NH}_2$ ,  $\text{-SO}_2\text{NH-C}_1\text{-C}_4\text{-alkyl}$

or two radicals form a bridge  $\text{-O-(CH}_2\text{)}_{1,2}\text{-O-}$ ,

B may be



and

A may be hydrogen, chlorine, bromine, iodine, fluorine,  $\text{CF}_3$ , nitro,  $\text{OH}$ ,  $\text{O-C}_1\text{-C}_4\text{-}$   
 $\text{C}_4\text{-alkyl}$ ,  $\text{O-C}_1\text{-C}_4\text{-alkylphenyl}$ ,  $\text{NH}_2$ , branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ ,  
 $\text{CN}$ , or  $\text{NH-CO-R}^{33}$ , where  $\text{R}^{33}$  is hydrogen,  $\text{C}_1\text{-C}_4\text{-alkyl}$  or phenyl and

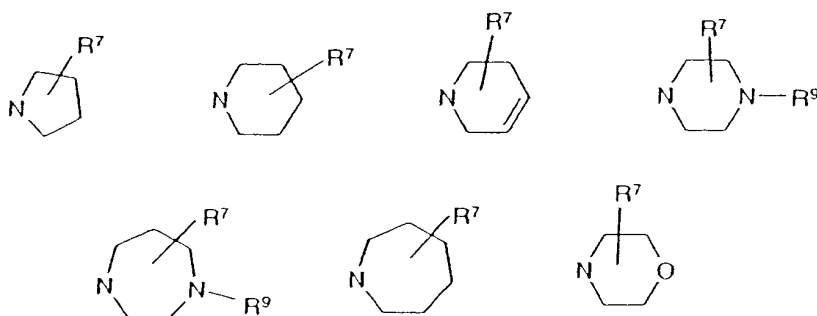
t is 0,1,2,3, or 4 and

K is a phenyl optionally having at most two substituents on the ring,  $\text{R}^{k1}$  and/or

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$R^{k2}$  are any of the radicals defined for  $R^{41}$  and  $R^{42}$ , respectively, or NH-C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, pyrrolidine, piperidine, 1,2, 5, 6-tetrahydropyridine, morpholine, trihydroazepine, piperazine, which may also be substituted by an alkyl radical C<sub>1</sub>-C<sub>6</sub>-alkyl, or homopiperazine, which may also be substituted by an alkyl radical C<sub>1</sub>-C<sub>6</sub>-alkyl, and

$R^5$  may be hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, or  $[NR_7R_9] \underline{NR^7R^9}$  and



and

$R^7$  is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, or phenyl, it also being possible for the rings to be substituted by up to two radicals  $R^{71}$ , and

$R^{71}$  is OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, chlorine, bromine, iodine, fluorine, CF<sub>3</sub>, nitro, or NH<sub>2</sub>, and

$R^8$  is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, or C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, it also being possible for the ring to be substituted by up to two radicals  $R^{81}$ , and

$R^{81}$  is OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, chlorine, bromine, iodine, fluorine, CF<sub>3</sub>, nitro, or NH<sub>2</sub> and

$R^9$  is hydrogen, COCH<sub>3</sub>, CO-O-C<sub>1</sub>-C<sub>4</sub>-alkyl, COCF<sub>3</sub>, branched and unbranched

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C<sub>1</sub>-C<sub>6</sub>-alkyl, it being possible for one or two hydrogens of the C<sub>1</sub>-C<sub>6</sub>-alkyl radical to be substituted in each case by one of the following radicals: OH, O-C<sub>1</sub>-C<sub>4</sub>-alkyl and phenyl, and for the phenyl ring also to carry one or two of the following radicals: iodine, chlorine, bromine, fluorine, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, nitro, amino, C<sub>1</sub>-C<sub>4</sub>-alkylamino, C<sub>1</sub>-C<sub>4</sub>-dialkylamino, OH, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, CN, CF<sub>3</sub>, or SO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl, or a tautomeric form, a possible enantiomeric or diastereomeric form, a prodrug or pharmacologically tolerated salt thereof.

2. (thrice amended) A compound of the formula I or II as claimed in claim 1 in which

R<sup>1</sup> is hydrogen, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, it also being possible for one C atom of the alkyl radical to carry OR<sup>11</sup> or a group R<sup>5</sup>, where

R<sup>11</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl, and

R<sup>2</sup> is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, nitro, CF<sub>3</sub>, CN, NR<sup>22</sup>R<sup>23</sup>, NH-CO-R<sup>21</sup>, OR<sup>21</sup>, where

R<sup>21</sup> and R<sup>22</sup> are, independently of one another, hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl, and

R<sup>23</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or phenyl, and

R<sup>3</sup> is -O-(CH<sub>2</sub>)<sub>o</sub>-(CHR<sup>31</sup>)<sub>m</sub>-(CH<sub>2</sub>)<sub>n</sub>-G, where

R<sup>31</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, OH and O-C<sub>1</sub>-C<sub>4</sub>-alkyl,

m, o are, independently of one another, 0, 1 or 2, and

n is 1, 2, 3 or 4 and

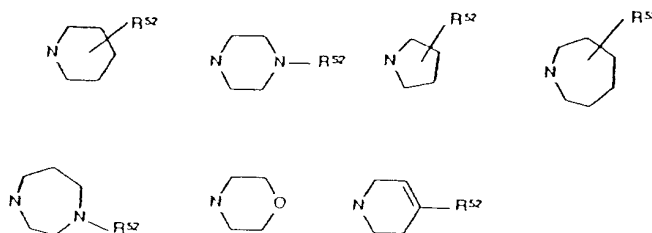
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$R^4$  is hydrogen, branched and unbranched  $C_1$ - $C_6$ -alkyl, chlorine, bromine, fluorine, nitro, cyano,  $[NR^{41}R^{42}NH-CO-R^{43}OR^{41}]$   $NR^{41}$ ,  $R^{42}$ ,  $NH-CO-R^{43}$ , and  $OR^{41}$  where

$R^{41}$  and  $R^{42}$  are, independently of one another, hydrogen or  $C_1$ - $C_4$ -alkyl, and

$R^{43}$  is  $C_1$ - $C_4$ -alkyl or phenyl, and

G is  $NR^{51}R^{52}$  or one of the following radicals



where

$R^{51}$  is hydrogen and branched and unbranched  $C_1$ - $C_6$ -alkyl, and

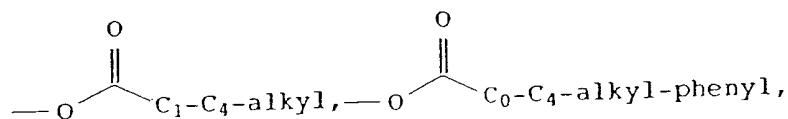
$R^{52}$  is hydrogen, branched and unbranched  $C_1$ - $C_6$ -alkyl phenyl,

$R^{53}$  is branched or unbranched  $O$ - $C_1$ - $C_6$ -alkyl, phenyl, branched or unbranched  $C_1$ - $C_4$ -alkyl-phenyl, where one hydrogen in the  $C_1$ - $C_6$ -alkyl radical in  $R^{52}$  and  $R^{53}$  are, independently of one another, optionally substituted by one of the following radicals:  $[OB]$   $OH$ ,  $O$ - $C_1$ - $C_4$ -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, where the carbocycles of the  $R^{52}$  and  $R^{53}$  radicals may also, independently of



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one another, carry one or two of the following radicals: branched or unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, branched or unbranched O-C<sub>1</sub>-C<sub>4</sub>-alkyl, OH, F, [C1] Cl, Br, I, CF<sub>3</sub>, NO<sub>2</sub>, NH<sub>2</sub>, CN, COOH, COOC<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, [CC1<sub>3</sub>] CCl<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub>-dialkylamino, SO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl, SO<sub>2</sub>phenyl, CONH<sub>2</sub>, CONH-C<sub>1</sub>-C<sub>4</sub>-alkyl, CONHphenyl, CONH-C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl, NHSO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl, [NBSO<sub>2</sub>phenyl] NHSO<sub>2</sub>phenyl, S-C<sub>1</sub>-C<sub>4</sub>-alkyl,



CHO, CH<sub>2</sub>-O-C<sub>1</sub>-C<sub>4</sub>-alkyl, -CH<sub>2</sub>O-C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl, -CH<sub>2</sub>OH, -SO-C<sub>1</sub>-C<sub>4</sub>-alkyl, -SO-C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl, SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NH-C<sub>1</sub>-C<sub>4</sub>-alkyl and two radicals form a bridge -O-(CH<sub>2</sub>)<sub>1,2</sub>-O-,

or a tautomeric form, a possible enantiomeric or diastereomeric form, a prodrug or pharmacologically tolerated salt thereof.

3. (thrice amended) A compound of the formula I or II as claimed in claim 1 in which

R<sup>1</sup> is hydrogen, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, it also being possible for one C atom of the alkyl radical to carry OR<sup>11</sup> or a group R<sup>5</sup>, where

R<sup>11</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl, and

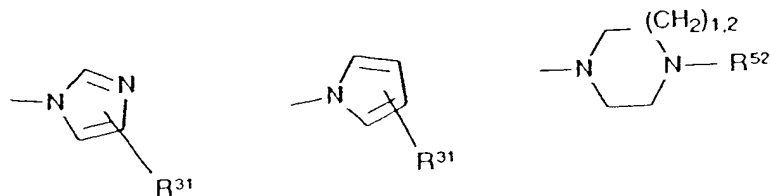
R<sup>2</sup> is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, nitro, CF<sub>3</sub>, CN, NR<sup>22</sup>R<sup>23</sup>, NH-CO-R<sup>21</sup>, OR<sup>21</sup>, where

R<sup>21</sup> and R<sup>22</sup> independently of one another are hydrogen or

C<sub>1</sub>-C<sub>4</sub>-alkyl and

R<sup>23</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl

R<sup>3</sup> is



and

R<sup>31</sup> is hydrogen, CHO and  $[-(\text{CH}_2)_o-(\text{CHR}^{32})_m-(\text{CH}_2)_n-\text{R}^5]-(\text{CH}_2)_o-(\text{CHR}^{32})_m-(\text{CH}_2)_n-\text{G}$ , where R<sup>32</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, OH and O-C<sub>1</sub>-C<sub>4</sub>-alkyl, m, o independently of one another are 0, 1 or 2 and n is 1, 2, 3 or 4, and

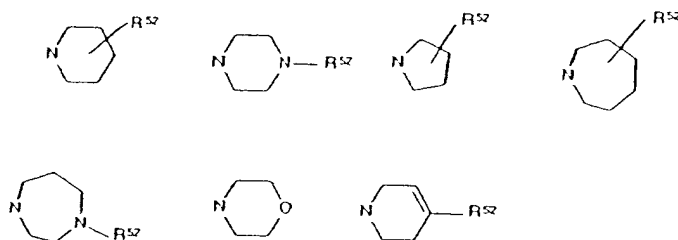
R<sup>4</sup> is hydrogen, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, chlorine, bromine, fluorine, nitro, cyano,  $[\text{NR}^{41}\text{R}^{42}\text{NH-CO-R}^{43}]$  NR<sup>41</sup>, R<sup>42</sup>, NH-CO-R<sup>43</sup>, OR<sup>41</sup>,

where

R<sup>41</sup> and R<sup>42</sup> independently of one another are hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl and

R<sup>43</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl or phenyl, and

G is NR<sup>51</sup>R<sup>52</sup> or one of the radicals below



where

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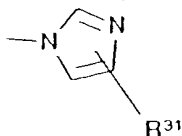
$R^{51}$  is hydrogen and branched and unbranched and  $C_1$ - $C_6$ -alkyl and

$R^{52}$  is hydrogen,  $COCH_3$ ,  $CO-O-C_1-C_4$ -alkyl,  $COCF_3$ , branched and unbranched  $C_1$ - $C_6$ -alkyl, it being possible for one hydrogen of the  $C_1$ - $C_6$ -alkyl radical to be substituted by one of the following radicals: OH,  $O-C_1-C_4$ -alkyl and phenyl and for the phenyl ring also to carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched  $C_1$ - $C_4$ -alkyl, nitro, amino,  $C_1$ - $C_4$ -alkylamino,  $C_1$ - $C_4$ -dialkylamino, OH,  $O-C_1-C_4$ -alkyl, CN,  $SO_2$ -  
 $C_1$ - $C_4$ -alkyl,

or a tautomeric form, a possible enantiomeric or diastereomeric form, a prodrug or pharmacologically tolerated salt thereof.

7. (twice amended) A compound as claimed in claim 1 where

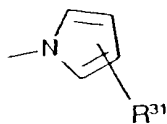
(i) for  $R^3$  being



$R^{31}$  is hydrogen or  $-(CH_2)_p-G$ , where

$p$  is 1 or 2 and

(ii) for  $R^3$  being



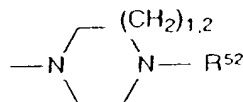
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$R^{31}$  is hydrogen or  $-(CH_2)_p-R^5$ , where

p is 1 or 2 and

$[R^{52}]$  may be hydrogen, branched and unbranched  $C_1-C_6$ -alkyl, where one hydrogen of the  $C_1-C_6$ -alkyl radical may be substituted by one of the following radicals: OH, O- $C_1-C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched  $C_1-C_4$ -alkyl, nitro, amino,  $C_1-C_4$ -alkylamino,  $C_1-C_4$ -dialkylamino, OH, O- $C_1-C_4$ -alkyl, CN,  $SO_2-C_1-C_4$ -alkyl];

and (iii) for  $R^3$  being



[nitro, amino,  $C_1-C_4$ -alkylamino,  $C_1-C_4$ -dialkylamino, OH, O- $C_1-C_4$ -alkyl, CN,  $SO_2-C_1-C_4$ -alkyl.]

$R^{52}$  may be hydrogen, branched and unbranched  $C_1-C_6$ -alkyl, where one hydrogen of the  $C_1-C_6$ -alkyl radical may be substituted by one of the following radicals: OH, O- $C_1-C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched  $C_1-C_4$ -alkyl, nitro, amino,  $C_1-C_4$ -alkylamino,  $C_1-C_4$ -dialkylamino, OH, O- $C_1-C_4$ -alkyl, CN,  $SO_2-C_1-C_4$ -alkyl;

where  $R^{52}$  is hydrogen, branched and unbranched  $C_1-C_6$ -alkyl, where one hydrogen of the  $C_1-C_6$ -alkyl radical may be substituted by one of the following radicals: OH, O- $C_1-C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of

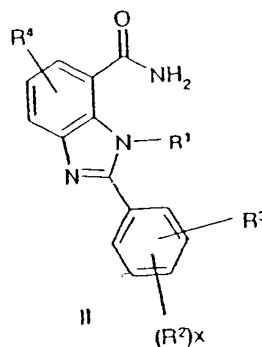
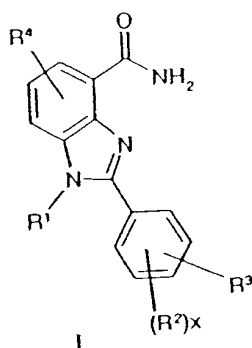
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the following radicals: chlorine, bromine, fluorine, branched and unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl,

nitro, amino, C<sub>1</sub>-C<sub>4</sub>-alkylamino, C<sub>1</sub>-C<sub>4</sub>-dialkylamino, OH, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, CN, SO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl.

**COPY OF ALL CLAIMS**

1. A compound of the formula I or II



in which

$R^1$  is hydrogen, or branched and unbranched  $C_1$ - $C_6$ -alkyl, it also being possible for one C atom of the alkyl radical to carry  $OR^{11}$  or a group  $R^5$ , where  $R^{11}$  is hydrogen or  $C_1$ - $C_4$ -alkyl, and

$R^2$  is hydrogen, chlorine, bromine, iodine, fluorine,  $CF_3$ , nitro,  $NHCOR^{21}$ ,  $NR^{22}R^{23}$ , OH, O- $C_1$ - $C_4$ -alkyl, O- $C_1$ - $C_4$ -alkylphenyl,  $NH_2$ , CN, a straight or branched  $C_1$  -  $C_6$ -alkyl,  $OR^{21}$  or phenyl, it also being possible for the phenyl rings to be substituted by at most two radicals  $R^{24}$ , and  $R^{21}$  and  $R^{22}$  independently of one another are hydrogen or  $C_1$ - $C_4$ -alkyl and  $R^{23}$  is hydrogen,  $C_1$ - $C_4$ -alkyl or phenyl, and  $R^{24}$  is OH,  $C_1$ - $C_6$ -alkyl, O- $C_1$ - $C_4$ -alkyl, chlorine, bromine, iodine, fluorine,  $CF_3$ , nitro or  $NH_2$ , and

x may be 0, 1 or 2 and

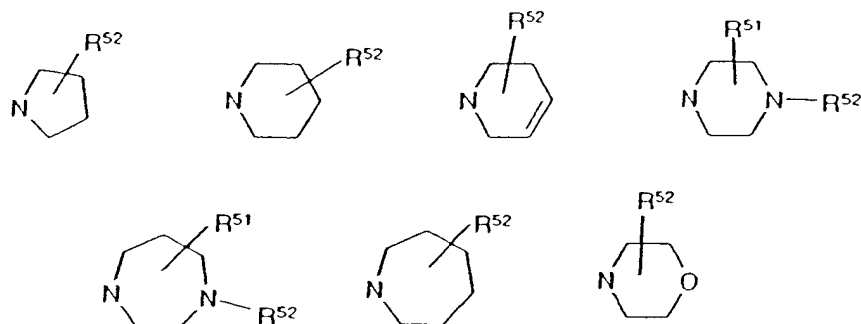
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- $R^3$  is  $-D-(F^1)_p-(E)_q-(F^2)_r-G$ , where  $p$ ,  $q$  and  $r$  may not simultaneously be 0, or is  $-E-(D)_u-(F^2)_s-(G)_v$ , it also being possible for the radical  $E$  to be substituted by one or two radicals  $A$ , and if  $v = 0$ ,  $E$  is imidazole, pyrrole, pyridine, pyrimidine, piperazine, pyrazine, pyrrolidine or piperidine, or  $R^3$  is  $B$  and
- $R^4$  is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched  $C_1-C_6$ -alkyl,  $OH$ , nitro,  $CF_3$ ,  $CN$ ,  $NR^{41}R^{42}$ ,  $NH-CO-R^{43}$ , or  $O-C_1-C_4$ -alkyl, where  $R^{41}$  and  $R^{42}$  independently of one another are hydrogen or  $C_1-C_4$ -alkyl and  $R^{43}$  is hydrogen,  $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkylphenyl or phenyl, and
- $D$  is  $S$  or  $O$
- $E$  is phenyl, imidazole, pyrrole, thiophene, pyridine, pyrimidine, piperazine, pyrazine, furan, thiazole, isoxazole, pyrrolidine, piperidine, or trihydroazepine and
- $F^1$  is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an  $OH$  or  $O-C_1-C_4$ -alkyl group and
- $F^2$  is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an  $OH$  or  $O-C_1-C_4$ -alkyl group and
- $p$  may be 0 or 1
- $q$  may be 0 or 1, and
- $r$  may be 0 or 1 and
- $s$  may be 0 or 1
- $u$  may be 0 or 1

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v may be 0 or 1

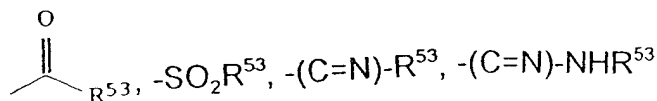
G may be  $\text{NR}^{51}\text{R}^{52}$  or



and

$\text{R}^{51}$  is hydrogen or branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , or  $(\text{CH}_2)_t\text{-K}$  and

$\text{R}^{52}$  is hydrogen, branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , phenyl,



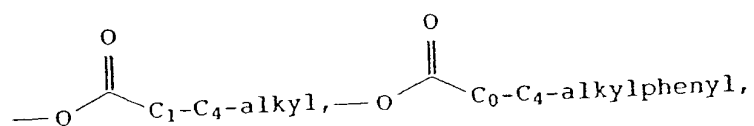
in which

$\text{R}^{53}$  may be branched or unbranched  $\text{O-C}_1\text{-C}_6\text{-alkyl}$ , phenyl, or branched or unbranched  $\text{C}_1\text{-C}_4\text{-alkylphenyl}$ , where in the case of  $\text{R}^{52}$  and  $\text{R}^{53}$ , independently of one another, one hydrogen of the  $\text{C}_1\text{-C}_6\text{-alkyl}$  radical may be substituted by one of the following radicals:  $\text{OH}$ ,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ , cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, it also being possible for the carbocycles of the radicals  $\text{R}^{52}$  and  $\text{R}^{53}$  independently of one another to carry one or two of the following radicals: branched or unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , branched or unbranched  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ ,



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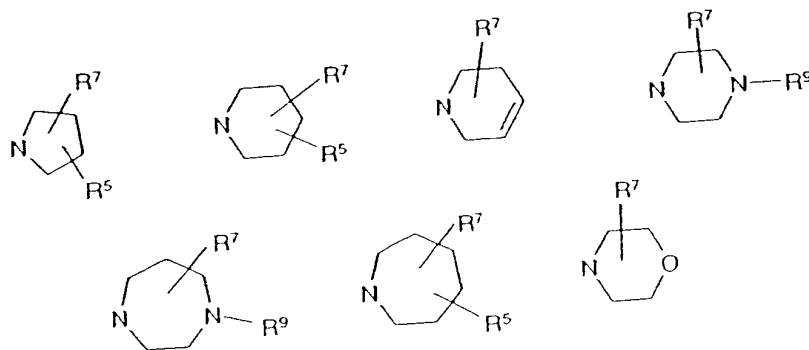
OH, F, Cl, Br, I, CF<sub>3</sub>, NO<sub>2</sub>, NH<sub>2</sub>, CN, COOH, COOC<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, CCl<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub>-dialkylamino, SO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl, SO<sub>2</sub>phenyl, CONH<sub>2</sub>, CONH-C<sub>1</sub>-C<sub>4</sub>-alkyl, CONHphenyl, CONH-C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, NHSO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl, NHSO<sub>2</sub>phenyl, S-C<sub>1</sub>-C<sub>4</sub>-alkyl,



CHO, CH<sub>2</sub>-O-C<sub>1</sub>-C<sub>4</sub>-alkyl, -CH<sub>2</sub>O-C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, -CH<sub>2</sub>OH, -SO-C<sub>1</sub>-C<sub>4</sub>-alkyl, -SO-C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NH-C<sub>1</sub>-C<sub>4</sub>-alkyl

or two radicals form a bridge -O-(CH<sub>2</sub>)<sub>1,2</sub>-O-,

B may be

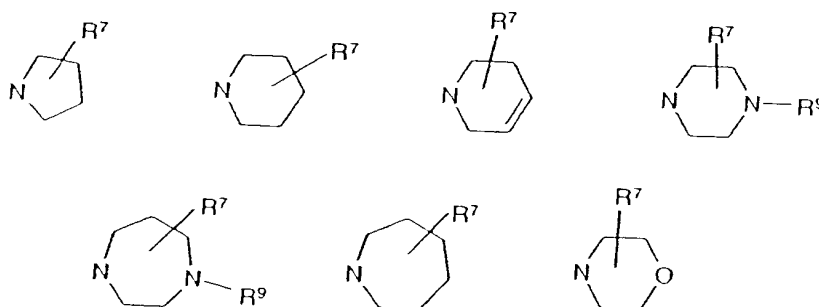


and

A may be hydrogen, chlorine, bromine, iodine, fluorine, CF<sub>3</sub>, nitro, OH, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, O-C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, NH<sub>2</sub>, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, CN, or NH-CO-R<sup>33</sup>, where R<sup>33</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or phenyl and  
t is 0,1,2,3, or 4 and

K is a phenyl optionally having at most two substituents on the ring,  $R^{k1}$  and/or  $R^{k2}$  are any of the radicals defined for  $R^{41}$  and  $R^{42}$ , respectively, or NH-C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, pyrrolidine, piperidine, 1,2, 5, 6-tetrahydropyridine, morpholine, trihydroazepine, piperazine, which may also be substituted by an alkyl radical C<sub>1</sub>-C<sub>6</sub>-alkyl, or homopiperazine, which may also be substituted by an alkyl radical C<sub>1</sub>-C<sub>6</sub>-alkyl, and

$R^5$  may be hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, or  $NR^7R^9$  and



and

$R^7$  is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, or phenyl, it also being possible for the rings to be substituted by up to two radicals  $R^{71}$ , and

$R^{71}$  is OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, chlorine, bromine, iodine, fluorine, CF<sub>3</sub>, nitro, or NH<sub>2</sub>, and

$R^8$  is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, or C<sub>1</sub>-C<sub>4</sub>-alkylphenyl, it also being possible for the ring to be substituted by up to two radicals  $R^{81}$ , and

$R^{81}$  is OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, chlorine, bromine, iodine, fluorine, CF<sub>3</sub>,

nitro, or  $\text{NH}_2$  and

$\text{R}^9$  is hydrogen,  $\text{COCH}_3$ ,  $\text{CO-O-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{COCF}_3$ , branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , it being possible for one or two hydrogens of the  $\text{C}_1\text{-C}_6\text{-alkyl}$  radical to be substituted in each case by one of the following radicals:  $\text{OH}$ ,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$  and phenyl, and for the phenyl ring also to carry one or two of the following radicals: iodine, chlorine, bromine, fluorine, branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , nitro, amino,  $\text{C}_1\text{-C}_4\text{-alkylamino}$ ,  $\text{C}_1\text{-C}_4\text{-dialkylamino}$ ,  $\text{OH}$ ,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{CN}$ ,  $\text{CF}_3$ , or  $\text{SO}_2\text{-C}_1\text{-C}_4\text{-alkyl}$ ,

or a tautomeric form, a possible enantiomeric or diastereomeric form, a prodrug or pharmacologically tolerated salt thereof.

2. A compound of the formula I or II as claimed in claim 1 in which

$\text{R}^1$  is hydrogen, branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , it also being possible for one C atom of the alkyl radical to carry  $\text{OR}^{11}$  or a group  $\text{R}^5$ , where

$\text{R}^{11}$  is hydrogen or  $\text{C}_1\text{-C}_4\text{-alkyl}$ , and

$\text{R}^2$  is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , nitro,  $\text{CF}_3$ ,  $\text{CN}$ ,  $\text{NR}^{22}\text{R}^{23}$ ,  $\text{NH-CO-R}^{21}$ ,  $\text{OR}^{21}$ , where

$\text{R}^{21}$  and  $\text{R}^{22}$  are, independently of one another, hydrogen or  $\text{C}_1\text{-C}_4\text{-alkyl}$ , and

$\text{R}^{23}$  is hydrogen,  $\text{C}_1\text{-C}_4\text{-alkyl}$  or phenyl, and

$\text{R}^3$  is  $-\text{O}-(\text{CH}_2)_o-(\text{CHR}^{31})_m-(\text{CH}_2)_n-\text{G}$ , where

$\text{R}^{31}$  is hydrogen,  $\text{C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{OH}$  and  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ ,

$m, o$  are, independently of one another, 0, 1 or 2, and

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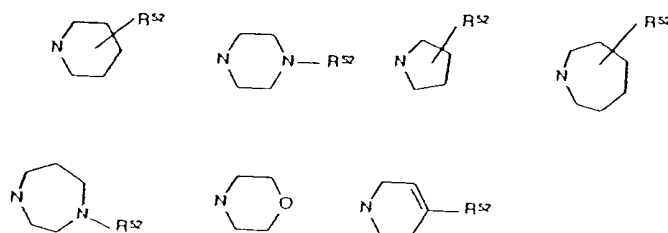
n is 1, 2, 3 or 4 and

R<sup>4</sup> is hydrogen, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, chlorine, bromine, fluorine, nitro, cyano, NR<sup>41</sup>, R<sup>42</sup>, NH-CO-R<sup>43</sup>, OR<sup>41</sup> where

R<sup>41</sup> and R<sup>42</sup> are, independently of one another, hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl, and

R<sup>43</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl or phenyl, and

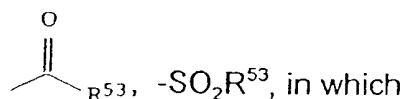
G is NR<sup>51</sup>R<sup>52</sup> or one of the following radicals



where

R<sup>51</sup> is hydrogen and branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl, and

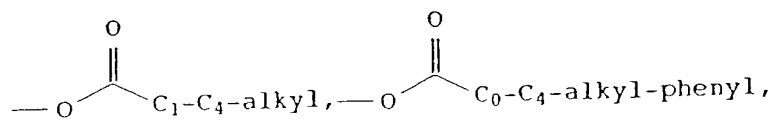
R<sup>52</sup> is hydrogen, branched and unbranched C<sub>1</sub>-C<sub>6</sub>-alkyl phenyl,



R<sup>53</sup> is branched or unbranched O-C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl, where one hydrogen in the C<sub>1</sub>-C<sub>6</sub>-alkyl radical in R<sup>52</sup> and R<sup>53</sup> are, independently of one another, optionally substituted by one of the following radicals: OH, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl,

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where the carbocycles of the  $R^{52}$  and  $R^{53}$  radicals may also, independently of one another, carry one or two of the following radicals: branched or unbranched  $C_1$ - $C_6$ -alkyl, branched or unbranched  $O$ - $C_1$ - $C_4$ -alkyl,  $OH$ ,  $F$ ,  $Cl$ ,  $Br$ ,  $I$ ,  $CF_3$ ,  $NO_2$ ,  $NH_2$ ,  $CN$ ,  $COOH$ ,  $COOC_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkylamino,  $CCl_3$ ,  $C_1$ - $C_4$ -dialkylamino,  $SO_2$ - $C_1$ - $C_4$ -alkyl,  $SO_2$ phenyl,  $CONH_2$ ,  $CONH$ - $C_1$ - $C_4$ -alkyl,  $CONH$ phenyl,  $CONH$ - $C_1$ - $C_4$ -alkyl-phenyl,  $NHSO_2$ - $C_1$ - $C_4$ -alkyl,  $NHSO_2$ phenyl,  $S$ - $C_1$ - $C_4$ -alkyl,



$CHO$ ,  $CH_2$ - $O$ - $C_1$ - $C_4$ -alkyl,  $-CH_2O$ - $C_1$ - $C_4$ -alkyl-phenyl,  $-CH_2OH$ ,  $-SO$ - $C_1$ - $C_4$ -alkyl,  $-SO$ - $C_1$ - $C_4$ -alkyl-phenyl,  $SO_2NH_2$ ,  $-SO_2NH$ - $C_1$ - $C_4$ -alkyl and two radicals form a bridge  $-O-(CH_2)_{1,2}-O-$ ,

or a tautomeric form, a possible enantiomeric or diastereomeric form, a prodrug or pharmacologically tolerated salt thereof.

3. A compound of the formula I or II as claimed in claim 1 in which

$R^1$  is hydrogen, branched and unbranched  $C_1$ - $C_6$ -alkyl, it also being possible for one C atom of the alkyl radical to carry  $OR^{11}$  or a group  $R^5$ , where

$R^{11}$  is hydrogen or  $C_1$ - $C_4$ -alkyl, and

$R^2$  is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched  $C_1$ - $C_6$ -alkyl, nitro,  $CF_3$ ,  $CN$ ,  $NR^{22}R^{23}$ ,  $NH-CO-R^{21}$ ,  $OR^{21}$ , where

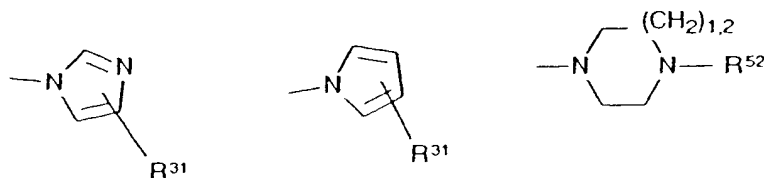
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$R^{21}$  and  $R^{22}$  independently of one another are hydrogen or

$C_1$ - $C_4$ -alkyl and

$R^{23}$  is hydrogen,  $C_1$ - $C_4$  alkyl or phenyl

$R^3$  is



and

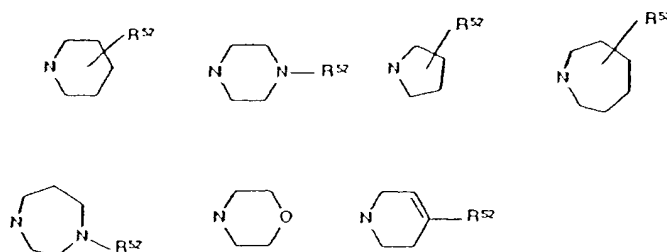
$R^{31}$  is hydrogen, CHO and  $-(CH_2)_o-(CHR^{32})_m-(CH_2)_n-G$ , where  $R^{32}$  is hydrogen,  $C_1$ - $C_4$ -alkyl, OH and O- $C_1$ - $C_4$ -alkyl,  $m, o$  independently of one another are 0, 1 or 2 and  $n$  is 1, 2, 3 or 4, and

$R^4$  is hydrogen, branched and unbranched  $C_1$ - $C_6$ -alkyl, chlorine, bromine, fluorine, nitro, cyano,  $NR^{41}$ ,  $R^{42}$ ,  $NH-CO-R^{43}$ ,  $OR^{41}$ , where

$R^{41}$  and  $R^{42}$  independently of one another are hydrogen or  $C_1$ - $C_4$ -alkyl and

$R^{43}$  is  $C_1$ - $C_4$ -alkyl or phenyl, and

$G$  is  $NR^{51}R^{52}$  or one of the radicals below



where

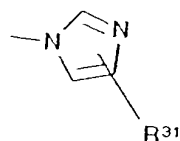
$R^{51}$  is hydrogen and branched and unbranched and  $C_1$ - $C_6$ -alkyl and

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$R^{52}$  is hydrogen,  $\text{COCH}_3$ ,  $\text{CO-O-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{COCF}_3$ , branched and unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , it being possible for one hydrogen of the  $\text{C}_1\text{-C}_6\text{-alkyl}$  radical to be substituted by one of the following radicals: OH,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$  and phenyl and for the phenyl ring also to carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched  $\text{C}_1\text{-C}_4\text{-alkyl}$ , nitro, amino,  $\text{C}_1\text{-C}_4\text{-alkylamino}$ ,  $\text{C}_1\text{-C}_4\text{-dialkylamino}$ , OH,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ , CN,  $\text{SO}_2\text{-C}_1\text{-C}_4\text{-alkyl}$ ,

or a tautomeric form, a possible enantiomeric or diastereomeric form, a prodrug or pharmacologically tolerated salt thereof.

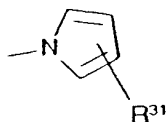
4. A compound as claimed in claim 1, where  $R^2$  is in position 3 and  $R^3$  is in position 4 or  $R^2$  is in position 4 and  $R^3$  is in position 3 relative to the benzimidazole ring.
5. A compound as claimed in claim 1, where  $R^1$  and  $R^4$  are hydrogen.
6. A compound as claimed in claim 1, where  
 $R^2$  is hydrogen, branched or unbranched  $\text{C}_1\text{-C}_6\text{-alkyl}$ , nitro, CN,  $\text{NH}_2$ ,  $\text{O-C}_1\text{-C}_4\text{-alkyl}$ .
7. A compound as claimed in claim 1 where  
(i) for  $R^3$  being



$R^{31}$  is hydrogen or  $-(\text{CH}_2)_p\text{-G}$ , where

$p$  is 1 or 2 and

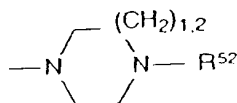
(ii) for  $R^3$  being



$R^{31}$  is hydrogen or  $-(CH_2)_p-R^5$ , where

$p$  is 1 or 2 and

and (iii) for  $R^3$  being



$R^{52}$  may be hydrogen, branched and unbranched  $C_1$ - $C_6$ -alkyl, where one hydrogen of the  $C_1$ - $C_6$ -alkyl radical may be substituted by one of the following radicals: OH,

O- $C_1$ - $C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched  $C_1$ - $C_4$ -alkyl,

nitro, amino,  $C_1$ - $C_4$ -alkylamino,  $C_1$ - $C_4$ -dialkylamino, OH, O- $C_1$ - $C_4$ -alkyl, CN,

SO<sub>2</sub>- $C_1$ - $C_4$ -alkyl;

where  $R^{52}$  is hydrogen, branched and unbranched  $C_1$ - $C_6$ -alkyl, where one hydrogen of the  $C_1$ - $C_6$ -alkyl radical may be substituted by one of the following radicals: OH, O- $C_1$ - $C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched  $C_1$ - $C_4$ -



alkyl,

nitro, amino, C<sub>1</sub>-C<sub>4</sub>-alkylamino, C<sub>1</sub>-C<sub>4</sub>-dialkylamino, OH, O-C<sub>1</sub>-C<sub>4</sub>-alkyl, CN, SO<sub>2</sub>-C<sub>1</sub>-C<sub>4</sub>-alkyl.

8. A compound as claimed in claim 1, where R<sup>3</sup> is -O-(CH<sub>2</sub>)<sub>p</sub>-G with p equal to 2, 3 or 4.
9. A compound as claimed in claim 1, where R<sup>5</sup> is a 6-membered ring and R<sup>52</sup> is an optionally substituted phenyl ring.
10. A drug comprising besides conventional vehicles and ancillary substances a compound as claimed in claim 1.
11. A method for treating a disorder in which pathologically elevated PARP activities occur, said method comprising administering an effective amount of a compound of the formula I as claimed in claim 1 to a mammal suffering from said disorder.
12. The use of compounds of the formula I as claimed in claim 11 wherein the disorder is a neurodegenerative disease or involves neuronal damage.
13. The method as claimed in claim 12, wherein the neurodegenerative disease or neuronal damage is induced by ischemia, trauma or massive bleeding.
14. The method as claimed in claim 11 wherein the disorder is stroke or craniocerebral trauma.
15. The method as claimed in claim 11 wherein the disorder is Alzheimer's disease and Huntington's disease.
16. The method as claimed in claim 11 wherein the disorder is damage due to

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ischemia.

17. The method as claimed in claim 11 wherein the disorder is epilepsy.
18. The method as claimed in claim 11 wherein the disorder is damage to the kidneys after renal ischemia, damage caused by drug therapy or damage resulting after kidney transplants.
19. The method as claimed in claim 11 wherein the disorder is damage to the heart after cardiac ischemia.
20. The method as claimed in claim 11 wherein the disorder is a microinfarcts.
21. The method as claimed in claim 11 wherein the disorder is under vascularization of critically narrowed coronary arteries.
22. The method as claimed in claim 11 wherein the disorder is an acute myocardial infarct and damage during an after medical or mechanical lysis thereof.
23. The method as claimed in claim 11 wherein the disorder is a tumor or metastasis I thereof.
24. The method as claimed in claim 11 wherein the disorder is sepsis of multi-organ failure.
25. The method as claimed in claim 11 wherein the disorder is an immunological disease.
26. The method as claimed in claim 11 wherein the disorder is diabetes mellitus.

Claim 7 lacks antecedent basis from claim 1 because of the definitions of  $R^3$  and  $R^{31}$  and its definitions, etc. {e.g.  $R^3$  is either “-D- $(F^1)_p$ -(E)- $(F^2)_r$ -G” or “-E-(D) $_u$ -( $F^2$ ) $_s$ -(G) $_v$ ” in claim 1 }.

Claim 8 lacks antecedent basis from claim 1 because of the definition of  $R^3$  (e.g., p is 0 or 1 in claim 1).

### *Conclusion*

Applicant's amendment necessitated the new ground(s) of rejection presented in this Office action. Accordingly, **THIS ACTION IS MADE FINAL**. See MPEP § 706.07(a). Applicant is reminded of the extension of time policy as set forth in 37 CFR 1.136(a).

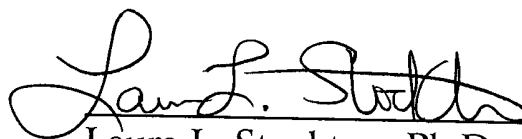
A shortened statutory period for reply to this final action is set to expire THREE MONTHS from the mailing date of this action. In the event a first reply is filed within TWO MONTHS of the mailing date of this final action and the advisory action is not mailed until after the end of the THREE-MONTH shortened statutory period, then the shortened statutory period will expire on the date the advisory action is mailed, and

any extension fee pursuant to 37 CFR 1.136(a) will be calculated from the mailing date of the advisory action. In no event, however, will the statutory period for reply expire later than SIX MONTHS from the date of this final action.

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Laura L. Stockton whose telephone number is (703) 308-1875. The examiner can normally be reached on Monday-Friday from 6:00 am to 2:30 pm. If the examiner is out of the Office, the examiner's supervisor, Joseph McKane, can be reached on (703) 308-4537.

Any inquiry of a general nature or relating to the status of this application should be directed to the Group receptionist whose telephone number is (703) 308-1235.

The fax phone number for the organization where this application or proceeding is assigned is (703) 308-4556.

A handwritten signature in cursive script, appearing to read "Laura L. Stockton".

Laura L. Stockton, Ph.D.

Patent Examiner

Art Unit 1626, Group 1620

Technology Center 1600

November 29, 2002